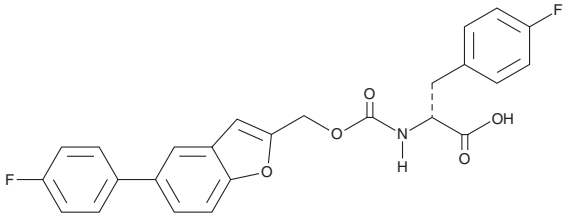
PRODUCT INFORMATION

RO3244794

Item No. 25350

CAS Registry No.:	361457-01-4
Formal Name:	4-fluoro-N-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]-D-phenylalanine
MF:	$C_{25}H_{19}F_2NO_5$
FW:	451.4
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

RO3244794 is supplied as a solid. A stock solution may be made by dissolving the RO3244794 in the solvent of choice, which should be purged with an inert gas. RO3244794 is soluble (≥10 mg/ml) in DMSO. RO3244794 is sparingly soluble (1-10 mg/ml) in ethanol.

Description

RO3244794 is an IP receptor antagonist (K_i = 20 nM in isolated human platelets).[1] It is selective for the IP receptor over a panel of 50 receptors at 10 µM but does bind to the prostaglandin E_2 (PGE_2) receptor subtypes EP_3 and EP_4, TP receptor, and adenosine A_3 receptor (K_is = 4,169, 1,820, 8,128, and 4,786 nM, respectively). RO3244794 inhibits cAMP accumulation induced by carbaprostacyclin (Item No. 18210) in CHO-K1 cells expressing the human IP receptor (IC_{50} = 316 nM). It prevents treprostinil-induced relaxation in U-46619-precontracted isolated rat pulmonary arteries when used at a concentration of 1 µM.[2] RO3244794 (250 nM) inhibits PGI_2- or 12-HETrE-induced aggregation of isolated human platelet-rich plasma.[3] It decreases acetic-acid induced writhing and carrageenan-induced mechanical hyperalgesia and edema in rats.[1] RO3244794 (10 mg/kg) also decreases the difference in weight distribution between the osteoarthritic and control hind paws in a rat model of sodium monoiodoacetate-induced osteoarthritis.

References

1. Bley, K.R., Bhattacharya, A., Daniels, D.V., *et al.* RO1138452 and RO3244794: Characterization of structurally distinct, potent and selective IP (prostacyclin) receptor antagonists. *Br. J. Pharmacol.* **147(3)**, 335-345 (2006).
2. Orie, N.N., Ledwozyw, A., Williams, D.J., *et al.* Differential actions of the prostacyclin analogues treprostinil and iloprost and the selexipag metabolite, MRE-269 (ACT-333679) in rat small pulmonary arteries and veins. *Prostaglandins Other Lipid Mediat.* **106**, 1-7 (2013).
3. Tourdot, B.E., Adili, R., Isingizwe, Z.R., *et al.* 12-HETrE inhibits platelet reactivity and thrombosis in part through the prostacyclin receptor. *Blood Adv.* **1(15)**, 1124-1131 (2017).

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